

Notice of Allowability

Application No.

10/699,106

Examiner

Anthony J. Paviglianiti

Applicant(s)

CARON ET AL.

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-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address--

All claims being allowable, PROSECUTION ON THE MERITS IS (OR REMAINS) CLOSED in this application. If not included herewith (or previously mailed), a Notice of Allowance (PTOL-85) or other appropriate communication will be mailed in due course. **THIS NOTICE OF ALLOWABILITY IS NOT A GRANT OF PATENT RIGHTS.** This application is subject to withdrawal from issue at the initiative of the Office or upon petition by the applicant. See 37 CFR 1.313 and MPEP 1308.

1. ☒ This communication is responsive to applicant's response and amendments, dated April 29, 2005.
2. ☒ The allowed claim(s) is/are 1 - 14.
3. ☐ The drawings filed on _____ are accepted by the Examiner.
4. ☐ Acknowledgment is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d) or (f).
 - a) ☐ All b) ☐ Some* c) ☐ None of the:
 1. ☐ Certified copies of the priority documents have been received.
 2. ☐ Certified copies of the priority documents have been received in Application No. _____.
 3. ☐ Copies of the certified copies of the priority documents have been received in this national stage application from the International Bureau (PCT Rule 17.2(a)).
 - * Certified copies not received: _____.

Applicant has THREE MONTHS FROM THE "MAILING DATE" of this communication to file a reply complying with the requirements noted below. Failure to timely comply will result in ABANDONMENT of this application.
THIS THREE-MONTH PERIOD IS NOT EXTENDABLE.

5. ☐ A SUBSTITUTE OATH OR DECLARATION must be submitted. Note the attached EXAMINER'S AMENDMENT or NOTICE OF INFORMAL PATENT APPLICATION (PTO-152) which gives reason(s) why the oath or declaration is deficient.
6. ☐ CORRECTED DRAWINGS (as "replacement sheets") must be submitted.
 - (a) ☐ including changes required by the Notice of Draftsperson's Patent Drawing Review (PTO-948) attached
 - 1) ☐ hereto or 2) ☐ to Paper No./Mail Date _____.
 - (b) ☐ including changes required by the attached Examiner's Amendment / Comment or in the Office action of Paper No./Mail Date _____.

Identifying indicia such as the application number (see 37 CFR 1.84(c)) should be written on the drawings in the front (not the back) of each sheet. Replacement sheet(s) should be labeled as such in the header according to 37 CFR 1.121(d).
7. ☐ DEPOSIT OF and/or INFORMATION about the deposit of BIOLOGICAL MATERIAL must be submitted. Note the attached Examiner's comment regarding REQUIREMENT FOR THE DEPOSIT OF BIOLOGICAL MATERIAL.

Attachment(s)

1. ☒ Notice of References Cited (PTO-892)
2. ☐ Notice of Draftsperson's Patent Drawing Review (PTO-948)
3. ☒ Information Disclosure Statements (PTO-1449 or PTO/SB/08),
Paper No./Mail Date 02/24/2004
4. ☐ Examiner's Comment Regarding Requirement for Deposit
of Biological Material
5. ☐ Notice of Informal Patent Application (PTO-152)
6. ☒ Interview Summary (PTO-413),
Paper No./Mail Date 20050520.
7. ☒ Examiner's Amendment/Comment
8. ☒ Examiner's Statement of Reasons for Allowance
9. ☐ Other _____.

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DETAILED ACTION

Applicant's Amendments to the Claims, and Remarks, filed April 29, 2005, were received and are hereby acknowledged. **Claims 1 – 14** are currently pending in the application. No new matter was found.

Information Disclosure Statement

The Information Disclosure Statement filed on February 24, 2004, is in compliance with 37 C.F.R. §1.97, and was considered by the examiner.

Response to Amendment**As to rejections brought under 35 U.S.C. §112, 1st paragraph:**

The Applicant's amendments have overcome the examiner's rejection of **Claim 1** brought under 35 U.S.C. §112, 1st paragraph (written description), by deleting the phrase "single-step or multi-step" before the words "process for the preparation." No new matter was found, as all of the steps of the process were in the original disclosure.

The Applicant's amendments have obviated the examiner's rejection of **Claim 12** brought under 35 U.S.C. §112, 1st paragraph (written description), by substituting "reductive alkylation" for "reductive amination." No new matter was found, as the process of reductive alkylation is disclosed in the Specification.

As to rejections brought under 35 U.S.C. §112, 2nd paragraph:

The applicant's amendments to the claims, combined with the Examiner's Amendment below, have obviated the examiner's rejection of **Claim 1** brought under 35 U.S.C. §112, 2nd paragraph (lacking essential steps in the process) by clarifying that the essential step in this process is forming the N-Ar² bond by copper-mediated aryl amination.

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The applicant's amendments to the claims have obviated the examiner's rejection of **Claim 2** brought under 35 U.S.C. §112, 2nd paragraph, by adding the phrase "the copper-mediated aryl amination is carried out by" and by clarifying the reaction process in which the compound of formula (IV) is made by treating a compound of formula (II) with a compound of formula (III) under certain conditions.

The applicant's amendments to the claims have obviated the examiner's rejection of **Claim 6** brought under 35 U.S.C. §112, 2nd paragraph, by amending the dependency to "claim 2," thereby providing an antecedent basis for the compounds cited.

The applicant's amendments to the claims have obviated the examiner's rejection of **Claim 7** brought under 35 U.S.C. §112, 2nd paragraph, by amending the dependency to "claim 6," thereby providing an antecedent basis for the compounds cited.

The applicant's amendments to the claims have obviated the examiner's rejection of **Claim 8** brought under 35 U.S.C. §112, 2nd paragraph, by amending the dependency to "claim 7," thereby providing an antecedent basis for the compounds cited.

The applicant's amendments to the claims have obviated the examiner's rejection of **Claim 9** brought under 35 U.S.C. §112, 2nd paragraph, by amending the dependency to "claim 8," thereby providing an antecedent basis for the compounds cited.

The applicant's amendments to the claims have obviated the examiner's rejection of **Claim 10** brought under 35 U.S.C. §112, 2nd paragraph, by amending the dependency to "claim 9," thereby providing an antecedent basis for the compounds cited.

The applicant's amendments to the claims have obviated the examiner's rejection of **Claim 11** brought under 35 U.S.C. §112, 2nd paragraph, by amending the dependency to "claim 10," thereby providing an antecedent basis for the compounds cited.

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No new matter was found in amendments to **Claims 1, 2, 6, 7, 8, 9, 10, and 11.**

As to Claim Objections:

The amendments to the claims have obviated the examiner's objection to **Claim 13** brought under 37 C.F.R. 1.75 (substantial duplicate claims), as **Claim 13** is no longer a substantial duplicate claim of **Claim 2** as amended.

Examiner's Amendment

An examiner's amendment to the record appears below. Should the change be unacceptable to applicant, an amendment may be filed as provided by 37 C.F.R. §1.312. To ensure consideration of such an amendment, it **MUST** be submitted no later than the payment of the issue fee.

The following Examiner's Amendment was agreed to by the Examiner and Applicant, David Kurlandsky, Esq., and authorized by Applicant, on May 20, 2005.

The application has been amended as follows:

In **Claim 1**, page 3, line 11, delete "in which the N-Ar² bond is constructed" after the word step, and insert ---of forming the N-Ar² bond---.

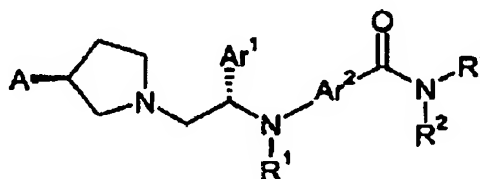
A summary of the telephone conference describing the examiner's amendment may also be found on the Interview Summary form, Form PTOL-413, dated May 20, 2005.

Please note that the **header** on each page of the amended claims is incorrectly shown as U.S. Application No. "10/640,520," instead of the correct U.S. Application number, which is "10/699,106."

Also, please note that the Application Number on Transmittal Form is incorrectly shown as "10/696,106."

Reasons for Allowance

The present invention is directed to a method of synthesizing pyrrolidinyl

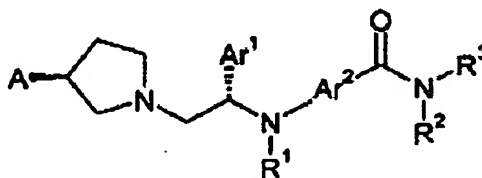


ethylamine compounds of formula (XI)

(XI), using

a copper catalyst (cuprous salt) aryl amination step to increase the yield of the desired stereoisomer, and to avoid the dangers of using the reagent styrene oxide in synthesis (see Specification at p. 3, lines 1 – 6).

A patent for the ultimate end-product of this synthesis, pyrrolidinyl ethylamine



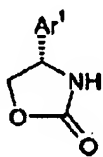
compounds of formula (XI)

(XI), was previously

issued as **U.S. Patent No. 6,201,007** (issued March 13, 2001), to inventors F. Ito and H. Kondo, with assignee Pfizer, Inc. However, **U.S. Patent No. 6,201,007** disclosed a different process of preparing the products than provided in the present invention; most particularly that the process did not use a copper catalyst for aryl amination. The closest prior art of record is an article by **Klapars, et al.**, who disclosed a method of *N*-arylation of nitrogen heterocycles using a copper catalyst and the same reagents used in the present invention. Klapars, A., et al., "A General and Efficient Copper Catalyst for the Amidation of Aryl Halides and the *N*-Arylation of Nitrogen Heterocycles," J. Amer. Chem. Soc., vol. 123(31), pages 7727-7729 (Aug. 2001), at p. 7727, col. 2, lines 10-19 ("we show here that the combination of air stable CuI and...1,2-cyclohexanediamine in the presence of...K₂CO₃...comprises an extremely efficient and general catalyst system

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for the *N*-amidation of aryl and heteroaryl iodides and bromides and the *N*-arylation of a number of heterocycles”). Klapars, et al., disclosed the use of a copper catalyst for *N*-arylation of several types of “nitrogen heterocycles,” including pyrazoles, indazoles, 7-azaindoles, phthalazinone, indoles, pyrroles, carbazoles, benzimidazole and imidazoles (Id. at p. 7728, col. 2, lines 2 – 10 and Table 3); however, Klapars, et al. did not disclose any data showing copper-mediated *N*-arylation where the heterocyclic ring contained nitrogen *and* oxygen heteroatoms, such as the oxazolidinone intermediate in the present



invention (see Specification at p. 11, step 2 of Scheme 1; and p. 7, lines 1 – 18).

Therefore, for the reasons above, **Claims 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13 and 14**, as amended by applicant, and by the authorized Examiner's Amendment, are neither anticipated nor rendered obvious over the prior art of record, and are allowable.

Conclusion

Claims 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13 and 14, as amended by Applicant's "Amendments to the Claims" dated April 29, 2005, and by the Examiner's Amendment authorized by Applicant (above), are allowed.

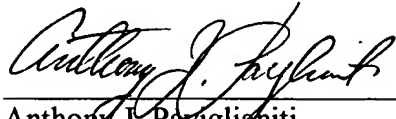
Any inquiry concerning this communication or earlier communications from the examiner should be directed to **Anthony J. Paviglianiti** whose telephone number is (571) 272-3107. The examiner can normally be reached on Monday-Friday, 8:30 a.m. - 5:30 p.m.

If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, Joseph K. McKane, can be reached at (571) 272-0699. **The fax phone**

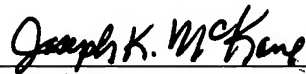
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number for the organization where this application or proceeding is assigned is (571) 273-8300. Please note that this is a new central FAX number for all official correspondence.

Information regarding the status of an application may be obtained from the Patent Application Information Retrieval (PAIR) system. Status information for published applications may be obtained from either Private PAIR or Public PAIR. Status information for unpublished applications is available through Private PAIR only. For more information about the PAIR system, see <http://pair-direct.uspto.gov>. Should you have questions on access to the Private PAIR system, contact the Electronic Business Center (EBC) at 866-217-9197 (toll-free).



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